

* * * * * * * * * * * * * Welcome to STN International * * * * * * * * *

| | |
|-----------------------|--|
| <u>NEWS 1</u> | Web Page URLs for STN Seminar Schedule - N. America |
| <u>NEWS 2</u> | "Ask CAS" for self-help around the clock |
| <u>NEWS 3</u> May 12 | EXTEND option available in structure searching |
| <u>NEWS 4</u> May 12 | Polymer links for the POLYLINK command completed in REGISTRY |
| <u>NEWS 5</u> May 27 | New UPM (Update Code Maximum) field for more efficient patent SDIs in CPlus |
| <u>NEWS 6</u> May 27 | CPlus super roles and document types searchable in REGISTRY |
| <u>NEWS 7</u> Jun 28 | Additional enzyme-catalyzed reactions added to CASREACT |
| <u>NEWS 8</u> Jun 28 | ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R) |
| <u>NEWS 9</u> Jul 12 | BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS |
| <u>NEWS 10</u> Jul 30 | BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting |
| <u>NEWS 11</u> AUG 02 | IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields |
| <u>NEWS 12</u> AUG 02 | CPlus and CA patent records enhanced with European and Japan Patent Office Classifications |
| <u>NEWS 13</u> AUG 02 | STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting |
| <u>NEWS 14</u> AUG 02 | The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available |
| <u>NEWS 15</u> AUG 04 | Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004 |
| <u>NEWS EXPRESS</u> | JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004 |
| <u>NEWS HOURS</u> | STN Operating Hours Plus Help Desk Availability |
| <u>NEWS INTER</u> | General Internet Information |
| <u>NEWS LOGIN</u> | Welcome Banner and News Items |
| <u>NEWS PHONE</u> | Direct Dial and Telecommunication Network Access to STN |
| <u>NEWS WWW</u> | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:36:01 ON 09 AUG 2004

| => file reg | COST IN U.S. DOLLARS | SINCE ENTRY | TOTAL SESSION |
|---------------------|----------------------|-------------|---------------|
| FULL ESTIMATED COST | | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:36:10 ON 09 AUG 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file

provided by InfoChem.

STRUCTURE FILE UPDATES: 7 AUG 2004 HIGHEST RN 723734-66-5
 DICTIONARY FILE UPDATES: 7 AUG 2004 HIGHEST RN 723734-66-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP_PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

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 L1 STRUCTURE uploaded

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 L1 HAS NO ANSWERS
 L1 STR

=> s l1
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 SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 3 TO 163
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
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 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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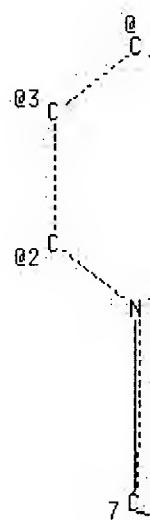
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 SEARCH TIME: 00.00.01

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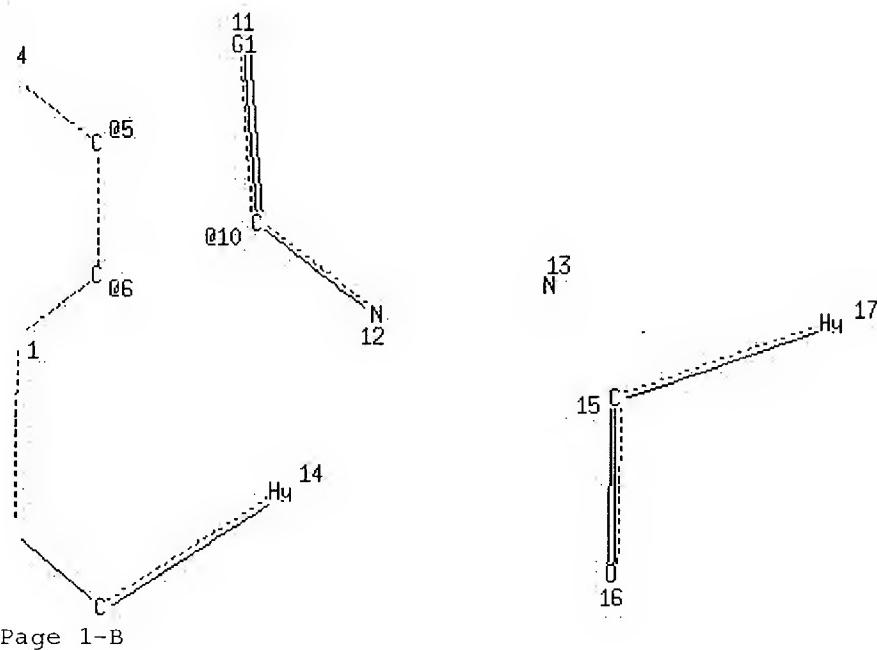
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 L4 STR

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Page 1-A



Page 1-B



Page 2-B

VAR G1=18/19

VPA 10-2/3/4/5/6 S

NODE ATTRIBUTES:

NSPEC IS R AT 1

h eb c g cg b cg

eb

NSPEC IS R AT 2
 NSPEC IS R AT 3
 NSPEC IS R AT 4
 NSPEC IS R AT 5
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 NSPEC IS C AT 14
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 NSPEC IS C AT 16
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 DEFAULT MLEVEL IS ATOM
 MLEVEL IS CLASS AT 7 8 10 15 16 18 19
 GGCAT IS UNS AT 14
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E4 C E1 S AT 14

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> s 14

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 SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 272 TO 928

PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 full

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

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100.0% PROCESSED 587 ITERATIONS 13 ANSWERS
 SEARCH TIME: 00.00.01

L6 13 SEA SSS FUL L4

=> file hcapius

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

316.30 316.51

FILE 'HCAPLUS' ENTERED AT 08:45:08 ON 09 AUG 2004

h eb c g cg b cg

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FILE COVERS 1907 - 9 Aug 2004 VOL 141 ISS 7
 FILE LAST UPDATED: 8 Aug 2004 (20040808/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s .6
 L7 7 L6

=> d 17, ibib abs fhitstr, 1-7

L7 ANSWER 1 OF 7 HCPLUS COPYRIGHT 2004 ACS on STN

Full Summary
 Text Substances

ACCESSION NUMBER: 2003:317444 HCPLUS
 DOCUMENT NUMBER: 138:343853
 TITLE: Preparation of compositions containing pyridinium derivatives for cosmetic and therapeutic applications
 INVENTOR(S): Sankaranarayanan, Alangudi
 PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India
 SOURCE: Eur. Pat. Appl., 104 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------------|------------|
| <u>EP 1304101</u> | A1 | 20030423 | <u>EP 2001-204295</u> | 20011112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>AU 766824</u> | B2 | 20031023 | <u>AU 2001-31376</u> | 20010328 |
| <u>AU 2001031376</u> | A5 | 20021003 | | |
| <u>JP 2003137783</u> | A2 | 20030514 | <u>JP 2001-344128</u> | 20011109 |
| <u>CN 1411809</u> | A | 20030423 | <u>CN 2001-137440</u> | 20011112 |
| <u>CN 1411800</u> | A | 20030423 | <u>CN 2001-137441</u> | 20011112 |
| <u>BR 2001005143</u> | A | 20040713 | <u>BR 2001-5143</u> | 20011112 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>IN 2001-CA605</u> | A 20011019 |
| | | | <u>IN 2001-CA620</u> | A 20011101 |

OTHER SOURCE(S): MARPAT 138:343853

AB The invention discloses a new class of compds. particularly pyridinium derivs., which have been found to exhibit triple function of a free radical scavenger (antioxidant), AGE (advanced glycation end product)

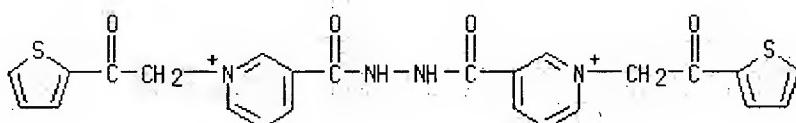
breaker and AGE inhibitor, and cosmetic compn. comprising these compds. contained in a cosmetically acceptable carrier. The invention also discloses a method of cosmetic application by applying such compns. The invention further discloses a pharmaceutical compn., comprising the compds. useful in scavenging free radicals from the body cells of a mammal, a method of scavenging free radicals from the body cells of a mammal and a method of treating of diseases caused by accumulation of free radicals in the body cells of a mammal by administering a compn. made with the compds. The invention in addn., also discloses compn. and method for inhibiting AGE in a mammal by use of the compds. of the same group. Thus, a compn. contained pyridinium compd. 0.25, oleic acid 10.0, propylene glycol 70.0, Tween-80 0.1, and EtOH qs to 100.0%.

IT 333797-95-8P

RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of compns. contg. pyridinium derivs. for cosmetic and therapeutic applications)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

# 2 Br⁻

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2003:118597 HCAPLUS
DOCUMENT NUMBER: 138:153445
TITLE: Preparation of N-oxoethylypyridinium compounds for the management of age-related and diabetic vascular complications
INVENTOR(S): Sankaranarayanan, Alangudi
PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India
SOURCE: U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U. S. Ser. No. 801,778, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 2003032660 | A1 | 20030213 | US 2001-939702 | 20010828 |
| US 6608094 | B2 | 20030819 | | |
| WO 2001025208 | A1 | 20010412 | WO 1999-IB1683 | 19991015 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, | | | | |

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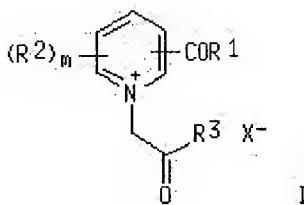
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MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6462057 B1 20021008 US 2000-598410 20000621
US 2001018524 A1 20010830 US 2001-801778 20010309
US 2002103228 A1 20020801 US 2001-995731 20011129
PRIORITY APPLN. INFO.:
IN 1999-CA828 A 19991006
WO 1999-IB1683 A2 19991015
US 2000-598410 A2 20000621
US 2001-801778 B2 20010309
IN 1999-CA827 A 19991006
WO 1999-IB1687 A1 19991015
US 2000-590143 A2 20000609
US 2001-939702 A1 20010828

OTHER SOURCE(S): MARPAT 138:153445

GI



AB Title compds. [I; R1 = R4R5, NR7NR7R9; R2 = F, Cl, Br, iodo, acyl, CONR7R10, CO2R7, NR7R10, SR7, etc.; R3 = R7, OR7, NR7R10, N:CR7R10, etc.; R4 = NR7R6O, NR7R6NR7, OR6O, OR6NR7; R6 = alkyl; R5 = alkyl aryl, heteroaryl, COR7, SO2R7, CSNHR7, C(NH)NHR7, COR10, etc.; R7 = H, alkyl, aryl, heteroaryl; R9 = H, alkyl, aryl, heteroaryl, COR10, SO2R10, etc.; R10 = H, alkyl, aryl, heteroaryl; X = halide, OAc, ClO4, BF4, PF6, etc.; m = 0-2; with provisos], were prepd. Thus, N,N'-bis(nicotinyl)hydrazine and phenacyl bromide were refluxed 6 h in MeOH/iPrOH to give 60% N,N'-bis[3-carbonyl-1-(2-phenyl-2-oxoethyl)pyridinium]hydrazine dibromide. Tested I gave 13-92.64% advanced glycation end product (AGE) breaking at 1-50 mM. Novel compds. of the pyridinium series useful for the management of diabetes and aging-related vascular and neurovascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, inflammatory disorders, immunol. disorders, oxidative stress, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, of the general formula I, or pharmaceutically acceptable salts thereof, wherein, R1, R2, R3, X and m are as defined in the specification.

IT 333797-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of N-oxoethylpyridinium compds. for the management of age-related and diabetic vascular complications)

RN 333797-95-8 HCPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

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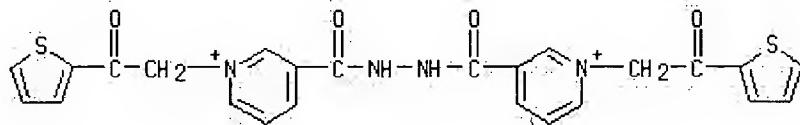
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# 2 Br⁻

L7 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

 Full References
 Text

ACCESSION NUMBER: 2002:770131 HCAPLUS
 DOCUMENT NUMBER: 137:279097
 TITLE: Preparation of novel pyridinium compounds for the management of aging-related and diabetic vascular complications
 INVENTOR(S): Sankaranarayanan, Alangudi
 PATENT ASSIGNEE(S): Torrent Pharmaceuticals, Ltd., India
 SOURCE: U.S., 10 pp., Cont.-in-part of WO 2001 25,208.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------------|-----------------|----------|
| US 6462057 | B1 | 20021008 | US 2000-598410 | 20000621 |
| WO 2001025208 | A1 | 20010412 | WO 1999-IB1683 | 19991015 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2001018524 | A1 | 20010830 | US 2001-801778 | 20010309 |
| US 2003032660 | A1 | 20030213 | US 2001-939702 | 20010828 |
| US 6608094 | B2 | 20030819 | | |
| US 2002103228 | A1 | 20020801 | US 2001-995731 | 20011129 |
| US 2003092744 | A1 | 20030515 | US 2002-214704 | 20020809 |
| US 6624178 | B2 | 20030923 | | |
| <u>PRIORITY APPLN. INFO.:</u> | | | | |
| | | IN 1999-CA828 | A 19991006 | |
| | | WO 1999-IB1683 | A2 19991015 | |
| | | IN 1999-CA827 | A 19991006 | |
| | | WO 1999-IB1687 | A1 19991015 | |
| | | US 2000-590143 | A2 20000609 | |
| | | US 2000-598410 | A2 20000621 | |
| | | US 2001-801778 | B2 20010309 | |
| | | US 2001-939702 | A1 20010828 | |

OTHER SOURCE(S): MARPAT 137:279097

GI

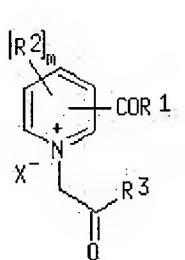
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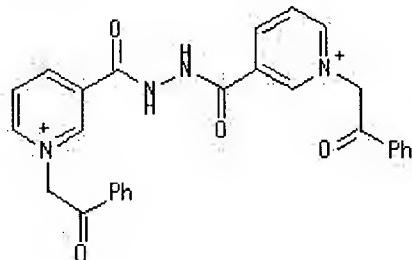
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I



II

AB The title compds. [I; R₁ = (un)substituted hydrazino, 2-benzyloxyethoxy, 2-benzyloxyethylamino, etc.; R₂ = halo, NO₂, alkyl, etc.; R₃ = 2-thienyl, phenylamino, Ph, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2; with the provisos], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prep'd. and formulated. Thus, reacting N,N'-bis-(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-ProOH afforded 60% II.2Br⁻ which showed 13% AGE breakage at 5 mM. Also disclosed is a method of treatment of a diabetic patient by administering the compds. as defined above, either singly or in combination with drugs for antidiabetic therapy.

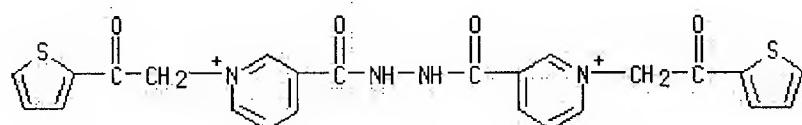
IT 333797-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prep'n. of novel pyridinium compds. for treating diseases caused by diabetes and aging related complications)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)



102 (a)

2 Br⁻

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

2002:733981 HCAPLUS

DOCUMENT NUMBER:

137:247608

TITLE:

Preparation of pyridinium compounds useful for the treatment of advanced glycation end product (AGE)-related diseases

INVENTOR(S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S):

Torrent Pharmaceuticals Ltd., India

SOURCE:

Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

h

eb c

g cg b cg

eb

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------------|----------|
| <u>EP 1243581</u> | A1 | 20020925 | <u>EP 2001-201057</u> | 20010321 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>JP 2002275158</u> | A2 | 20020925 | <u>JP 2001-81819</u> | 20010322 |

CN 1377880 A 20021106 CN 2001-112413 20010330

EP 2001-201057 A 20010321

PRIORITY APPLN. INFO.:

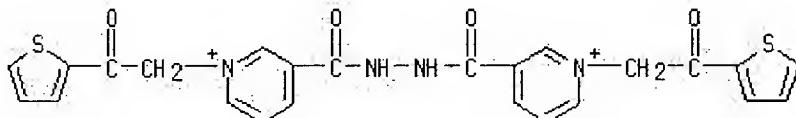
AB Disclosed are novel pyridinium compds. useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth. Thus, N-benzenesulfonylisonicotinic hydrazide and EtO₂CCH₂Br were refluxed 24 h in Me₂CHOH to give 60% 1-(2-ethoxy-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyridinium bromide. Title compds. showed 14-95.36% AGE-breaking activity at 1-25 mM.

IT 357625-39-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of pyridinium compds. useful for treatment of advanced glycation end product (AGE)-related diseases)

RN 357625-39-9 HCPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dichloride (9CI) (CA INDEX NAME)

# 2 Cl⁻

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 7 HCPLUS COPYRIGHT 2004 ACS on STN

Full Summary
 Text References

ACCESSION NUMBER: 2002:727098 HCPLUS
DOCUMENT NUMBER: 137:247606
TITLE: Preparation of oxoethylpyridinium halides having AGE breaking activity for treatment of senile disease and complication of diabetes
INVENTOR(S): Sankaranarayanan, Alangudi
PATENT ASSIGNEE(S): Trent Pharmaceuticals Limited., India
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------------|----------|
| <u>JP 2002275158</u> | A2 | 20020925 | <u>JP 2001-81819</u> | 20010322 |
| <u>EP 1243581</u> | A1 | 20020925 | <u>EP 2001-201057</u> | 20010321 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: EP 2001-201057 A 20010321

OTHER SOURCE(S): MARPAT 137:247606

AB N,N'-bis[3-carbonyl-1-(2-thien-2'-yl-2-oxoethyl)pyridinium]hydrazine dichloride, N,N'-bis[3-carbonyl-1-(2-cyclopropylamino-2-oxoethyl)pyridinium]hydrazine dichloride, 1-(2-phenylamino-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyridinium chloride or its pharmaceutically acceptable salt, 1-[2-(2',4'-dichlorophenyl)-2-oxoethyl]-3-[2-(methoxyethoxy carbonyl)pyridinium bromide or its pharmaceutically acceptable salt, 1-(2-phenylamino-2-oxoethyl)-3-[benzoyloxyethylaminocarbonyl]pyridinium chloride or its pharmaceutically acceptable salt, and other oxoethylpyridinium halides are prepd. The compds. are useful for treatment of senile disease and complication of diabetes as renal disease, nerve damage, retinopathy, atherosclerosis, microangiopathy, endodermis function disorder, and teeth discoloration. N-(benzenesulfonyl)isonicotinic acid hydrazide (1.0 g) was treated with 0.6 g Et bromoacetate in iso-PrOH under reflux for 24 h to give 1.05 g 1-(2-ethoxy-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyridinium bromide. The compds. showed good breaking activity at 1-20 mM concn.

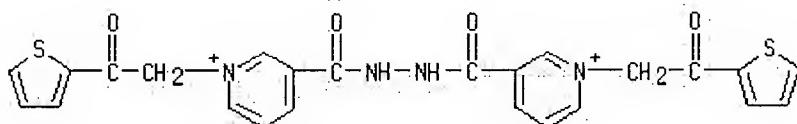
IT 333797-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxoethylpyridinium halides having AGE breaking activity for treatment of senile disease and complication of diabetes)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)



2 Br⁻

L7 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

FULL SEARCHED
 Text REFERENCE

ACCESSION NUMBER: 2001:643433 HCAPLUS
 DOCUMENT NUMBER: 135:210943
 TITLE: Preparation of novel pyridinium compounds for the management of aging-related and diabetic vascular complications
 INVENTOR(S): Sankaranarayanan, Alangudi
 PATENT ASSIGNEE(S): India
 SOURCE: U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. Ser. No. 598,410.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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|------------|------|------|-----------------|------|

h eb c g cg b cg

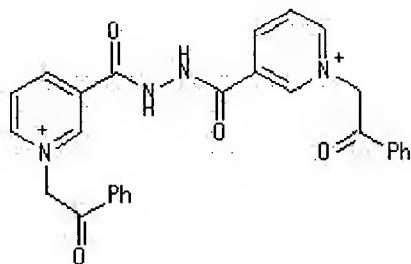
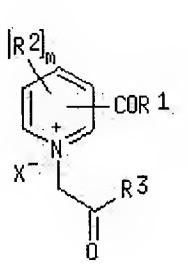
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|--|----|----------|-----------------------|-------------|
| <u>US 2001018524</u> | A1 | 20010830 | <u>US 2001-801778</u> | 20010309 |
| <u>WO 2001025208</u> | A1 | 20010412 | <u>WO 1999-IB1683</u> | 19991015 |
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IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>US 6462057</u> | B1 | 20021008 | <u>US 2000-598410</u> | 20000621 |
| <u>US 2003032660</u> | A1 | 20030213 | <u>US 2001-939702</u> | 20010828 |
| <u>US 6608094</u> | B2 | 20030819 | | |
| <u>US 2002103228</u> | A1 | 20020801 | <u>US 2001-995731</u> | 20011129 |
| PRIORITY APPLN. INFO.: | | | <u>IN 1999-CA828</u> | A 19991006 |
| | | | <u>WO 1999-IB1683</u> | A2 19991015 |
| | | | <u>US 2000-598410</u> | A2 20000621 |
| | | | <u>IN 1999-CA827</u> | A 19991006 |
| | | | <u>WO 1999-IB1687</u> | A1 19991015 |
| | | | <u>US 2000-590143</u> | A2 20000609 |
| | | | <u>US 2001-801778</u> | B2 20010309 |
| | | | <u>US 2001-939702</u> | A1 20010828 |

OTHER SOURCE(S):

MARPAT 135:210943

GI



AB The title compds. [I; R1 = (un)substituted hydrazino, 2-benzyloxyethoxy, 2-benzyloxyethylamino, etc.; R2 = halo, NO₂, alkyl, etc.; R3 = 2-thienyl, phenylamino, Ph, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prep'd. Thus, reacting N,N'-biss-(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-PrOH afforded 60% II.2Br- which showed 13% AGE breakage at 5 mM. Also disclosed is a method of treatment of a diabetic patient by administering the compds. as defined above, either singly or in combination with drugs for antidiabetic therapy.

IT **333797-95-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prep'n. of novel pyridinium compds. for the management of aging-related and diabetic vascular complications)

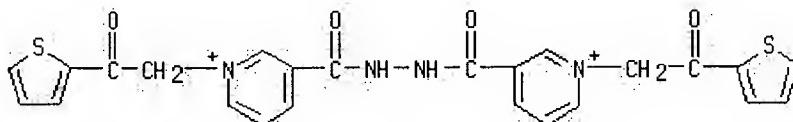
RN 333797-95-8 HCPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-,

h eb c g cg b cg

eb

dibromide (9CI) (CA INDEX NAME)



2 Br-

L7 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

FULL
 ABSTRACT
 SPECIFIC

ACCESSION NUMBER: 2001:265392 HCAPLUS
 DOCUMENT NUMBER: 134:280715
 TITLE: Preparation of novel pyridinium derivatives for the management of aging-related and diabetic vascular complications
 INVENTOR(S): Sankaranarayanan, Alangudi
 PATENT ASSIGNEE(S): India
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------------|----------|
| <u>WO 2001025208</u> | A1 | 20010412 | <u>WO 1999-IB1683</u> | 19991015 |
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IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
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| <u>CA 2344144</u> | AA | 20010412 | <u>CA 1999-2344144</u> | 19991015 |
| <u>AU 9959942</u> | A1 | 20010510 | <u>AU 1999-59942</u> | 19991015 |
| <u>AU 769940</u> | B2 | 20040212 | | |
| <u>BR 9913746</u> | A | 20020423 | <u>BR 1999-13746</u> | 19991015 |
| <u>EP 1222171</u> | A1 | 20020717 | <u>EP 1999-973986</u> | 19991015 |
| <u>EP 1222171</u> | B1 | 20040225 | | |
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IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| <u>JP 2003511369</u> | T2 | 20030325 | <u>JP 2001-528154</u> | 19991015 |
| <u>CZ 291789</u> | B6 | 20030514 | <u>CZ 2001-1033</u> | 19991015 |
| <u>RU 2215000</u> | C2 | 20031027 | <u>RU 2001-107007</u> | 19991015 |
| <u>AT 260256</u> | E | 20040315 | <u>AT 1999-973986</u> | 19991015 |
| <u>US 6462057</u> | B1 | 20021008 | <u>US 2000-598410</u> | 20000621 |
| <u>US 2001018524</u> | A1 | 20010830 | <u>US 2001-801778</u> | 20010309 |
| <u>US 2003032660</u> | A1 | 20030213 | <u>US 2001-939702</u> | 20010828 |
| <u>US 6608094</u> | B2 | 20030819 | | |
| <u>US 2002103228</u> | A1 | 20020801 | <u>US 2001-995731</u> | 20011129 |
| <u>US 2003092744</u> | A1 | 20030515 | <u>US 2002-214704</u> | 20020809 |
| <u>US 6624178</u> | B2 | 20030923 | | |

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b cg

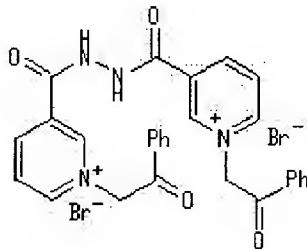
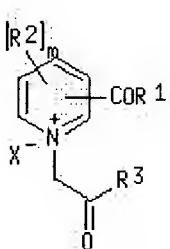
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PRIORITY APPLN. INFO.:

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| <u>IN 1999-CA827</u> | A 19991006 |
| <u>WO 1999-IB1683</u> | W 19991015 |
| <u>WO 1999-IB1687</u> | A1 19991015 |
| <u>US 2000-590143</u> | A2 20000609 |
| <u>US 2000-598410</u> | A2 20000621 |
| <u>US 2001-801778</u> | B2 20010309 |
| <u>US 2001-939702</u> | A1 20010828 |

OTHER SOURCE(S):
GI

MARPAT 134:280715



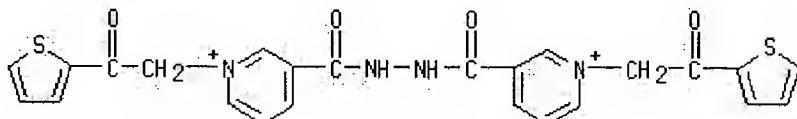
AB The title compds. [I; R1 = R4R5, NR7NR7R9; R2 = F, Cl, Br, etc.; R3 = R7, OR7, etc.; R4 = NR7R6O, NR7R6NR7, OR6O, etc.; R5 = alkyl, aryl, heteroaryl, etc.; R6 = alkyl; R7 = H, alkyl, aryl, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prep'd. and formulated. Thus, reacting N,N'-bis(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-PrOH afforded 60% II which showed 13% AGE breakage at 5 mM. The invention further discloses a method of treatment of a diabetic patient by administering the compds. I, either singly or in combination with drugs for antidiabetic therapy.

IT 333797-95-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridinium derivs. for the management of aging-related and diabetic vascular complications)

RN 333797-95-8 HCPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)



2 Br-

REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

| SINCE FILE
ENTRY | TOTAL
SESSION |
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| FULL ESTIMATED COST | 37.46 | 353.97 |
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| CA SUBSCRIBER PRICE | -5.15 | -5.15 |

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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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| L2 | 0 S L1 |
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| L4 | STRUCTURE UPLOADED |
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| L6 | 13 S L4 FULL |

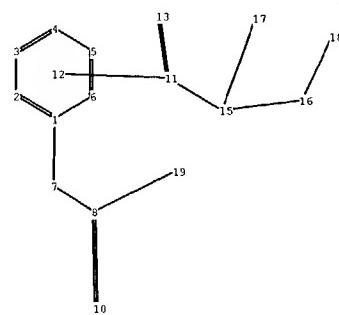
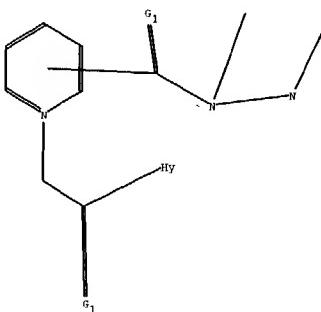
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| L7 | 7 S L6 |
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| => s 16 | |
| L8 | 0 L6 |

=>



chain nodes :

7 8 10 11 13 19

ring nodes :

1 2 3 4 5 6 15 16 17 18

chain bonds :

1-7 7-8 8-10 8-19 11-13 11-15 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-17

exact/norm bonds :

1-7 8-10 8-19 11-13 11-15 15-16 15-17 16-18

exact bonds :

7-8

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Generic attributes :

19:

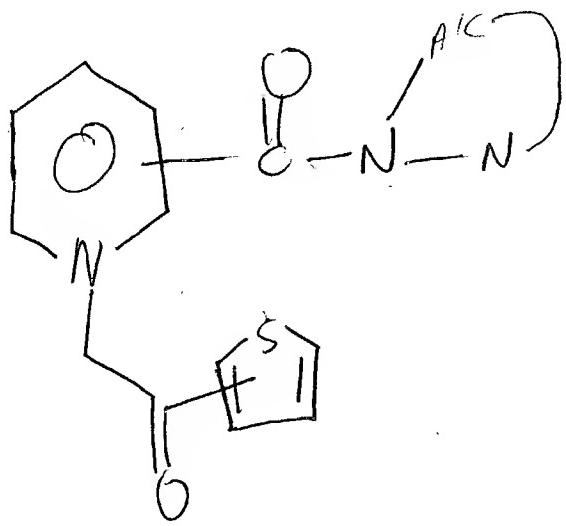
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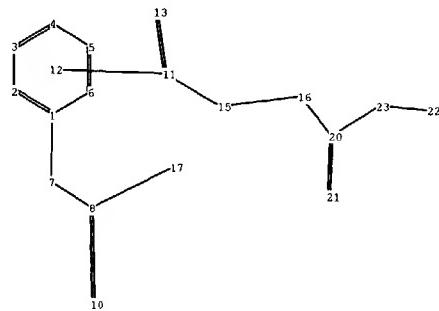
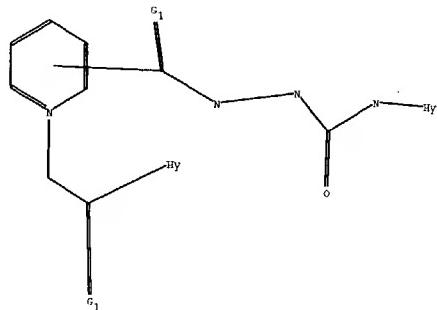
Element Count :

Node 19: Limited

S,S1

C,C4



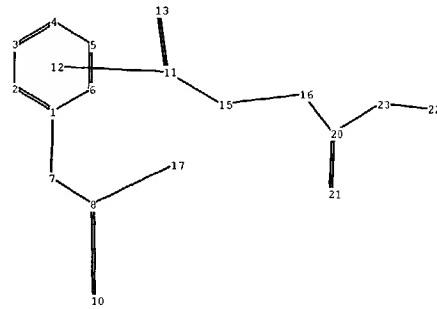
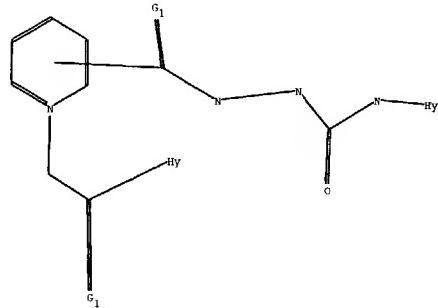


chain nodes :
 7 8 10 11 13 17 21 22 23
 ring nodes :
 1 2 3 4 5 6
 ring/chain nodes :
 15 16 20
 chain bonds :
 1-7 7-8 8-10 8-17 11-13 11-15 20-21 20-23 22-23
 ring/chain bonds :
 15-16 16-20
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6
 exact/norm bonds :
 1-7 8-10 8-17 11-13 11-15 15-16 16-20 20-21 20-23 22-23
 exact bonds :
 7-8
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6
 isolated ring systems :
 containing 1 :

G1:0,S

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 20:CLASS 21:CLASS 22:Atom 23:CLASS
 Generic attributes :
 17:
 Saturation : Unsaturated

Element Count :
 Node 17: Limited
 S,S1



chain nodes :

7 8 10 11 13 17 21 22 23

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

15 16 20

chain bonds :

1-7 7-8 8-10 8-17 11-13 11-15 20-21 20-23 22-23

ring/chain bonds :

15-16 16-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-7 8-10 8-17 11-13 11-15 15-16 16-20 20-21 20-23 22-23

exact bonds :

7-8

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS

12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 20:CLASS 21:CLASS 22:Atom 23:CLASS

Generic attributes :

17:

Saturation : Unsaturated

Element Count :

Node 17: Limited
S,S1

C, C4